

PRELIMINARY AMENDMENT
U.S. Appln. No. 10/091,293

Het optionally substituted with R_{22} wherein R_{22} is C_{1-6} alkyl, C_{1-6} alkoxy, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C_{1-6} alkyl, or Het; and

R_{21B} is C_{1-6} alkyl, C_{1-6} alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, NO_2 , OH, halo, trifluoromethyl, or carboxyl;

R^1 is ethyl, vinyl, cyclopropyl, 1 or 2-bromoethyl or 1 or 2-bromovinyl;

APG is an amino protecting group selected from: 1) acyl groups, 2) aromatic carbamate groups, 3) aliphatic carbamate groups, 4) cyclic alkyl carbamate groups, 5) alkyl groups, 6) trialkylsilyl, and 7) thiol containing groups; and

CPG is a carboxyl protecting group selected from: alkyl esters, aralkyl esters, and esters being cleavable by mild base treatment or mild reductive means.

81. The compound according to claim 80, wherein said carboxyl protecting group is selected from: methyl, trimethylsilylethyl, *t*-butyl, benzyl, substituted benzyl, trichloroethyl and phenacyl esters.

82. The compound according to claim 80, wherein said amino protecting group is selected from: formyl, trifluoroacetyl, phthalyl, *p*-toluenesulfonyl, as benzyloxycarbonyl, substituted benzyloxycarbonyl, 9-fluorenylmethyloxycarbonyl, *tert*-butyloxycarbonyl, ethoxycarbonyl, diisopropylmethoxycarbonyl, allyloxycarbonyl, cyclopentyloxycarbonyl, adamantyloxycarbonyl, triphenylmethyl, benzyl, trimethylsilyl, phenylthiocarbonyl and dithiasuccinoyl.

83. The compound according to claim 80, wherein said amino protecting group is selected from: *tert*-butyloxycarbonyl and 9-fluorenylmethyloxycarbonyl.

84. A compound of formula I according to claim 80, wherein R_{21A} is C_6 , C_{10} aryl or Het, all optionally substituted with R_{22} as defined in claim 80.

85. A compound of formula I according to claim 84, wherein R_{21A} is selected from the group consisting of:

86. A compound of the formula:

wherein \mathbf{R}^2 is

Chemical structure of a quinoline derivative. The structure consists of a quinoline ring system. At position 2, there is a phenyl ring substituted with R_{22A} . At position 6, there is a substituent R_{21B} . The oxygen atom at position 3 is linked to a group R_{22A} via a bond that is broken with an arrow pointing away from the oxygen.

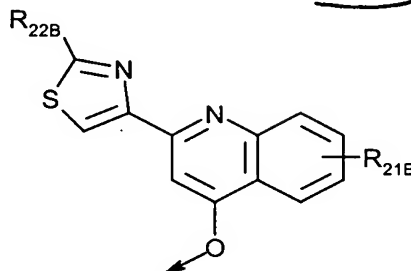
wherein **R**_{22A} is C₁₋₆ alkyl; C₁₋₆ alkoxy; or halo; and **R**_{21B} is C₁₋₆ alkyl, C₁₋₆ alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, NO₂, OH, halo, trifluoromethyl, or carboxyl;

R¹ is ethyl, vinyl, cyclopropyl, 1 or 2-bromoethyl or 1 or 2-bromovinyl;

APG is an amino protecting group selected from: 1) acyl groups, 2) aromatic carbamate groups, 3) aliphatic carbamate groups, 4) cyclic alkyl carbamate groups, 5) alkyl groups, 6) trialkylsilyl, and 7) thiol containing groups; and

CPG is a carboxyl protecting group selected from: alkyl esters, aralkyl esters, and esters being cleavable by mild base treatment or mild reductive means.

87. A compound of formula I according to claim 80, wherein R^2 is:



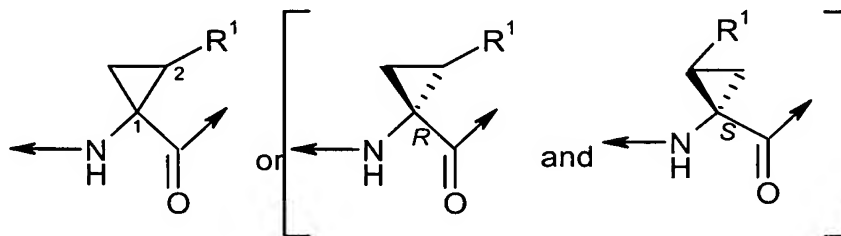
wherein R_{22B} is C_{1-6} alkyl, amino optionally mono-substituted with C_{1-6} alkyl, amido, or (lower alkyl)amide; and R_{21B} is C_{1-6} alkyl, C_{1-6} alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, NO_2 , OH, halo, trifluoromethyl, or carboxyl.

88. A compound of formula I according to claim 86 or 87, wherein R_{21B} is C_{1-6} alkoxy, or di(lower alkyl)amino.

89. A compound of formula I according to claim 86 or 87, wherein R_{21B} is methoxy.

90. A compound of formula I according to claim 80, wherein R^1 is vinyl.

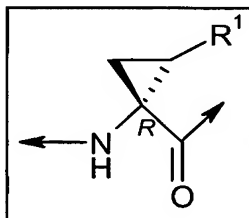
91. A compound of formula I according to claim 80, wherein R^1 at carbon 2 is orientated *syn* to the carbonyl at position 1, represented by the radical:



92. A compound of formula I according to claim 80, wherein R^1 at position 2 is orientated *anti* to the carbonyl at position 1, represented by the radical:

93. A compound of formula I according to claim 80, wherein carbon 1 has the *R* configuration:

94. An optical isomer of a compound of formula I according to claim 93, wherein said R^1 substituent and the carbonyl are in a *syn* orientation in the following absolute configuration:



95. A compound of formula I according to claim ~~94~~, wherein R^1 is ethyl, hence the asymmetric carbon atoms at positions 1 and 2 have the *R,R* configuration.

96. A compound of formula I according to claim 94, wherein R^1 is vinyl, hence the asymmetric carbon atoms at positions 1 and 2 have the *R,S* configuration. --

REMARKS

Applicants have added claims directed to certain P2-P1 intermediates used in preparing compounds of the present invention. Support in general for P2-P1 intermediates is found at page 33, line 6 of the specification (in Scheme I) which recites the intermediate APG-P2-P1-CPG. Support for the definitions of P1 and P2 in